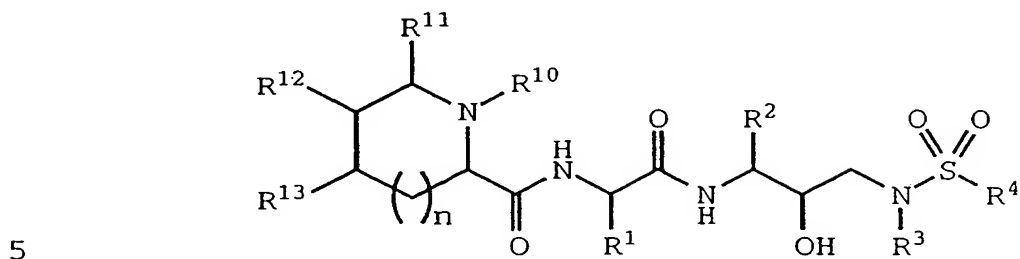


WHAT IS CLAIMED IS:

1. Compound represented by the formula:



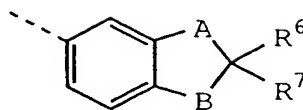
or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein n represents 0 or 1;

- 10 R<sup>1</sup> represents alkyl of 1-5 carbon atoms, alkenyl of 2-5 carbon atoms, alkynyl of 2-5 carbon atoms, hydroxyalkyl of 1-3 carbon atoms, alkoxyalkyl of 1-3 alkyl and 1-3 alkoxy carbon atoms, cyanoalkyl of 1-3 alkyl carbon atoms, imidazolylmethyl, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>,  
15 -CH<sub>2</sub>S(O)<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>S(O)CH<sub>3</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>SCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>S(O)CH<sub>3</sub> or -C(CH<sub>3</sub>)<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub> radicals;

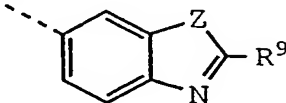
- R<sup>2</sup> represents radicals of alkyl of 1-5 carbon atoms,  
20 aralkyl of 1-3 alkyl carbon atoms, alkylthioalkyl of 1-3  
alkyl carbon atoms, arylthioalkyl of 1-3 alkyl carbon  
atoms or cycloalkylalkyl of 1-3 alkyl carbon atoms and 3-  
6 ring member carbon atoms;
- 25 R<sup>3</sup> represents radicals of alkyl radical of 1-5 carbon  
atoms, cycloalkyl of 5-8 ring members or cycloalkylmethyl  
radical of 3-6 ring members;

- R<sup>4</sup> represents aryl, benzo fused 5 to 6 ring member  
30 heteroaryl or benzo fused 5 to 6 ring member heterocyclo  
radicals; or a radical of the formula

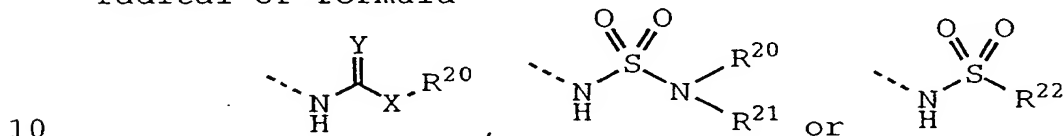
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wherein A and B each independently represent O, S, SO or SO<sub>2</sub>; R<sup>6</sup> represents deuterium, alkyl of 1-5 carbon atoms, fluoro or chloro radicals; R<sup>7</sup> represents hydrogen, deuterium, methyl, fluoro or chloro radicals; or a radical of the formula



wherein Z represents O, S or NH; and R<sup>9</sup> represents a radical of formula



wherein Y represents O, S or NH; X represents a bond, O or NR<sup>21</sup>;

R<sup>20</sup> represents hydrogen, alkyl of 1 to 5 carbon atoms, alkenyl of 2 to 5 carbon atoms, alkynyl of 2 to 5 carbon atoms, aralkyl of 1 to 5 alkyl carbon atoms, heteroaralkyl of 5 to 6 ring members and 1 to 5 alkyl carbon atoms, heterocycloalkyl of 5 to 6 ring members and 1 to 5 alkyl carbon atoms, aminoalkyl of 2 to 5 carbon atoms, N-mono-substituted or N,N-disubstituted aminoalkyl of 2 to 5 alkyl carbon atoms wherein said substituents are radicals of alkyl of 1 to 3 carbon atoms, aralkyl of 1 to 3 alkyl carbon atoms radicals, carboxyalkyl of 1 to 5 carbon atoms, alkoxycarbonylalkyl of 1 to 5 alkyl carbon atoms, cyanoalkyl of 1 to 5 carbon atoms or hydroxyalkyl of 2 to 5 carbon atoms;

R<sup>21</sup> represents hydrogen radical or alkyl radical of 1 to 3 carbon atoms; or the radical of formula -NR<sup>20</sup>R<sup>21</sup> represents a 5 to 6 ring member heterocyclo radical; and

R<sup>22</sup> represents alkyl radical of 1 to 3 carbon atoms or R<sup>20</sup>R<sup>21</sup>N-alkyl radical of 1 to 3 alkyl carbon atoms;

5 R<sup>10</sup> represents hydrogen, alkyl of 1-3 carbon atoms, benzyl, phenylmethoxycarbonyl, tert-butoxycarbonyl or (4-methoxyphenylmethoxy)carbonyl radicals;

10 R<sup>11</sup> represents hydrogen, hydroxyalkyl or alkoxyalkyl radicals, wherein alkyl is 1-3 carbon atoms; and

R<sup>12</sup> and R<sup>13</sup> each independently represent hydrogen, hydroxy, alkoxy, 2-hydroxyethoxy, hydroxyalkyl or alkoxyalkyl radicals, wherein alkyl is 1-3 carbon atoms; or R<sup>11</sup> and R<sup>12</sup> or R<sup>12</sup> and R<sup>13</sup> along with the carbon atoms  
15 to which they are attached represent a benzo radical, which is optionally substituted with at least one hydroxy or alkoxy radical of 1-3 carbon atoms.

2. Compound of Claim 1, or a pharmaceutically  
20 acceptable salt, prodrug or ester thereof, wherein

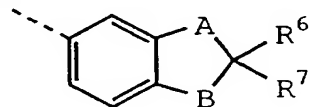
R<sup>1</sup> represents alkyl of 1-4 carbon atoms, alkenyl of 2-3 carbon atoms, alkynyl of 3-4 carbon atoms, cyanomethyl, imidazolylmethyl, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>NH<sub>2</sub>,  
25 -CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>S(O)CH<sub>3</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>SCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>S(O)CH<sub>3</sub> or -C(CH<sub>3</sub>)<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub> radicals; and

R<sup>2</sup> represents radicals of alkyl of 3-5 carbon atoms, arylmethyl, alkylthioalkyl of 1-3 alkyl carbon atoms,  
30 arylthiomethyl or cycloalkylmethyl of 5-6 ring member carbon atoms radicals;

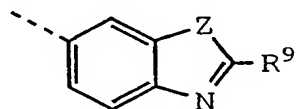
R<sup>3</sup> represents alkyl of 1-5 carbon atoms, cycloalkylmethyl of 3-6 ring members, cyclohexyl or cycloheptyl radicals;  
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R<sup>4</sup> represents phenyl, 2-naphthyl, 4-methoxyphenyl, 4-hydroxyphenyl, 3,4-dimethoxyphenyl, 3-aminophenyl, 4-

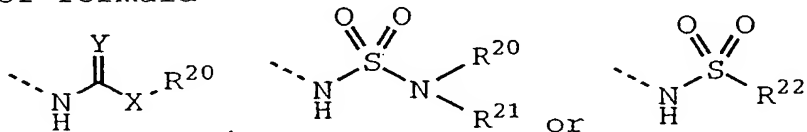
aminophenyl, 2-amino-benzothiazol-5-yl, 2-amino-benzothiazol-6-yl, benzothiazol-5-yl, benzothiazol-6-yl, benzoxazol-5-yl, 2,3-dihydrobenzofuran-5-yl, benzofuran-5-yl, 1,3-benzodioxol-5-yl or 1,4-benzodioxan-6-yl radicals, or a radical of the formula



wherein A and B each represent O;  $R^6$  represents deuterium, methyl, ethyl, propyl, isopropyl or fluoro radicals; and  $R^7$  represents hydrogen, deuterium, methyl or fluoro radicals; or a radical of the formula



wherein Z represents O, S or NH; and  $R^9$  represents a radical of formula



wherein Y represents O, S or NH; X represents a bond, O or  $NR^{21}$ ;

$R^{20}$  represents hydrogen, alkyl of 1 to 5 carbon atoms, phenylalkyl of 1 to 3 alkyl carbon atoms, heterocycloalkyl of 5 to 6 ring members and 1 to 3 alkyl carbon atoms, or N-mono-substituted or N,N-disubstituted aminoalkyl of 2 to 3 alkyl carbon atoms wherein said substituents are alkyl radicals of 1 to 3 carbon atoms; and

$R^{21}$  represents hydrogen or methyl radicals; or the radical of formula  $-NR^{20}R^{21}$  represents pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, 4-benzylpiperazinyl, morpholinyl or thiamorpholinyl radicals; and

$R^{22}$  represents alkyl radical of 1 to 3 carbon atoms.

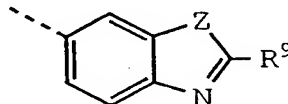
3. Compound of Claim 2, or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein n is 0;

5 R<sup>1</sup> represents iso-propyl, sec-butyl, tert-butyl, 3-propynyl, imidazolylmethyl, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>S(O)CH<sub>3</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>SCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>S(O)CH<sub>3</sub> or -C(CH<sub>3</sub>)<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub> radicals;

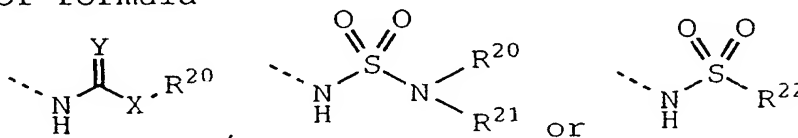
10 R<sup>2</sup> represents isobutyl, n-butyl, CH<sub>3</sub>SCH<sub>2</sub>CH<sub>2</sub>-, phenylthiomethyl, (2-naphthylthio)methyl, benzyl, 4-methoxyphenylmethyl, 4-hydroxyphenylmethyl, 4-fluorophenylmethyl or cyclohexylmethyl radicals;

15 R<sup>3</sup> represents propyl, isoamyl, isobutyl, butyl, cyclohexyl, cycloheptyl, cyclopentylmethyl or cyclohexylmethyl radicals;

R<sup>4</sup> represents phenyl, 2-naphthyl, 4-methoxyphenyl, 4-hydroxyphenyl, benzothiazol-5-yl, benzothiazol-6-yl, benzoxazol-5-yl, 2,3-dihydrobenzofuran-5-yl, benzofuran-5-yl, 1,3-benzodioxol-5-yl, 2-methyl-1,3-benzodioxol-5-yl, 2,2-dimethyl-1,3-benzodioxol-5-yl, 2,2-dideutero-1,3-benzodioxol-5-yl, 2,2-difluoro-1,3-benzodioxol-5-yl or  
25 1,4-benzodioxan-6-yl radicals; or a radical of the formula



wherein Z represents O, S or NH; and R<sup>9</sup> represents a radical of formula



30

wherein Y represents O, S or NH; X represents a bond, O or NR<sup>21</sup>;

R<sup>20</sup> represents hydrogen, methyl, ethyl, propyl, isopropyl, isobutyl, benzyl, 2-(1-pyrrolidinyl)ethyl, 2-(1-piperidinyl)ethyl, 2-(1-piperazinyl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, 2-(1-morpholinyl)ethyl, 2-(1-thiamorpholinyl)ethyl or 2-(N,N-dimethylamino)ethyl radicals;

R<sup>21</sup> represents a hydrogen radical; and

10 R<sup>22</sup> represents methyl radical;

R<sup>10</sup> represents hydrogen, methyl or benzyl radicals;

15 R<sup>11</sup> represents a hydrogen radical; and

R<sup>12</sup> and R<sup>13</sup> each independently represent hydrogen, hydroxy or methoxy radicals; or R<sup>11</sup> and R<sup>12</sup> along with the carbon atoms to which they are attached represent a benzo radical, which is optionally substituted with at least one hydroxy or methoxy radical.

4. Compound of Claim 3 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

25 R<sup>1</sup> represents sec-butyl, tert-butyl, iso-propyl, 3-propynyl or -C(CH<sub>3</sub>)<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub> radicals;

R<sup>2</sup> represents benzyl, 4-fluorophenylmethyl or cyclohexylmethyl radicals;

30

R<sup>4</sup> represents phenyl, 4-methoxyphenyl, 4-hydroxyphenyl, benzothiazol-5-yl, benzothiazol-6-yl, 2,3-dihydrobenzofuran-5-yl, benzofuran-5-yl, 1,3-benzodioxol-5-yl, 2-methyl-1,3-benzodioxol-5-yl, 2,2-dimethyl-1,3-benzodioxol-5-yl, 2,2-dideutero-1,3-benzodioxol-5-yl, 2,2-difluoro-1,3-benzodioxol-5-yl, 1,4-benzodioxan-6-yl,

35

2-(methoxycarbonylamino)benzothiazol-6-yl or 2-(methoxycarbonylamino)benzimidazol-5-yl radicals;

R10 represents hydrogen or methyl radicals;

5

R12 represents hydrogen or hydroxy radicals; and

R13 represents a hydrogen radical.

10           5. Compound of Claim 1 wherein said pharmaceutically acceptable salt is hydrochloric acid salt, sulphuric acid salt, phosphoric acid salt, oxalic acid salt, maleic acid salt, succinic acid salt, citric acid salt or methanesulfonic acid salt.

15

6. Compound of Claim 5 wherein said pharmaceutically acceptable salt is hydrochloric acid salt, oxalic acid salt, citric acid salt or methanesulfonic acid salt.

20

7. Compound of Claim 1 which is

2S-[[ (pyrrolidin-2-yl) carbonyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
25 1S-(phenylmethyl)propyl]-3,3-dimethyl-butanamide;

2S-[[ (pyrrolidin-2-yl) carbonyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
1S-(phenylmethyl)propyl]-3-methyl-butanamide;

30

2S-[[ (pyrrolidin-2-yl) carbonyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
1S-(phenylmethyl)propyl]-3S-methyl-pentanamide;

35 2S-[[ (pyrrolidin-2-yl) carbonyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
1S-(phenylmethyl)propyl]-4-pentynamide;

- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[phenylsulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl)propyl] -3,3-dimethyl-butanamide;
- 5
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[phenylsulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl)propyl] -3-methyl-butanamide;
- 10
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[phenylsulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl)propyl] -3S-methyl-pentanamide;
- 15
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[phenylsulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl)propyl] -4-pentynamide;
- 20
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (4-methoxyphenyl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl)propyl] -3,3-dimethyl-butanamide;
- 25
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (4-methoxyphenyl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl)propyl] -3S-methyl-pentanamide;
- 30
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (4-methoxyphenyl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl)propyl] -4-pentynamide;
- 35
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino] -1S- (phenylmethyl)propyl] -3,3-dimethyl-butanamide;



- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino]-1S- (phenylmethyl)propyl]-3-methyl-butanamide;
- 5 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino]-1S-phenylmethyl)propyl]-3S-methyl-pentanamide;
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino]-1S-phenylmethyl)propyl]-4-pentynamide;
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino]-1S-  
15 (phenylmethyl)propyl]-3,3-dimethyl-butanamide;
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3-methyl-butanamide;
- 20 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3S-methyl-pentanamide;
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-4-pentynamide;
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
30 [[ (2-naphthyl) sulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3,3-dimethyl-butanamide;
- 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (2-naphthyl) sulfonyl] (2-methylpropyl) amino]-1S-  
35 (phenylmethyl)propyl]-3-methyl-butanamide;

2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (2-naphthyl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl) propyl] -3S-methyl-pentanamide;

- 5 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (2-naphthyl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl) propyl] -4-pentynamide;

- 10 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (1,4-benzodioxan-6-yl) sulfonyl] (2-methylpropyl) amino] -  
1S-(phenylmethyl) propyl] -3,3-dimethyl-butanamide;

2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (1,4-benzodioxan-6-yl) sulfonyl] (2-methylpropyl) amino] -  
1S-(phenylmethyl) propyl] -3-methyl-butanamide;

- 20 2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (1,4-benzodioxan-6-yl) sulfonyl] (2-methylpropyl) amino] -  
1S-(phenylmethyl) propyl] -3S-methyl-pentanamide; or

2S-[[ (pyrrolidin-2-yl) carbonyl] amino] -N- [2R-hydroxy-3-  
[[ (1,4-benzodioxan-6-yl) sulfonyl] (2-methylpropyl) amino] -  
1S-(phenylmethyl) propyl] -4-pentynamide.

- 25 8. Composition comprising a compound of Claim 1 and  
a pharmaceutically acceptable carrier.

- 30 9. Method of inhibiting a retroviral protease  
comprising administering an effective amount of a  
compound of Claim 1.

10. Method of treating a retroviral infection  
comprising administering an effective amount of a  
composition of Claim 8.

11. Method of preventing replication of a retrovirus comprising administering an effective amount of a compound of Claim 1.

5        12. Method of preventing replication of a retrovirus *in vitro* comprising administering an effective amount of a compound of Claim 1.

10        13. Method of treating AIDS comprising administering an effective amount of a composition of Claim 8.